

c-Kit

SCFR;CD117

HDAC Inhibitor:
Vorinostat (SAHA)


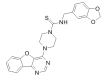

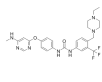
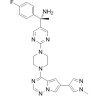
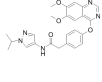

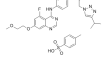

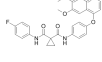



HDAC (Histone deacetylase)


Signalling through c-Kit plays a role in cell survival, proliferation, and differentiation.


c-Kit (Mast/stem cell growth factor receptor, SCFR or CD117) is a protein that in humans is encoded by the KIT gene. c-Kit (CD117) is an important cell surface marker used to identify certain types of hematopoietic (blood) progenitors in the bone marrow. c-Kit is a cytokine receptor expressed on the surface of hematopoietic stem cells as well as other cell types. Altered forms of this receptor may be associated with some types of cancer. c-Kit is a receptor tyrosine kinase type III, which binds to stem cell factor. When c-Kit binds to stem cell factor (SCF) it forms a dimer that activates its intrinsic tyrosine kinase activity, that in turn phosphorylates and activates signal transduction molecules that propagate the signal in the cell.


c-Kit Inhibitors & Modulators


<p>AC710</p> <p style="text-align: right;">Cat. No.: HY-13493</p> <p>Bioactivity: AC710 is a potent PDGFR inhibitor with K_ds of 0.6, 1.57, 1, 1.3, 1.0 nM for FLT3, CSF1R, KIT, PDGFRα and PDGFRβ, respectively.</p> <p>Purity: 98.03%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Amuvatinib (MP470; HPK 56)</p> <p style="text-align: right;">Cat. No.: HY-10206</p> <p>Bioactivity: Amuvatinib (MP470) is a multi-targeted receptor tyrosine kinases inhibitor, which inhibits c-Kit (D816V), c-Kit (D816H), c-Kit (V560G), c-Kit (V654A), PDGFRα (D842V), and PDGFRα (V561D) with IC_{50}s of 950 nM, 10 nM, 34 nM, 127 ...</p> <p>Purity: 99.36%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>Amuvatinib hydrochloride (MP470 hydrochloride; HPK 56 hydrochloride)</p> <p style="text-align: right;">Cat. No.: HY-10206A</p> <p>Bioactivity: Amuvatinib hydrochloride (MP470 hydrochloride) is a multi-targeted receptor tyrosine kinases inhibitor, which inhibits c-Kit (D816V), c-Kit (D816H), c-Kit (V560G), c-Kit (V654A), PDGFRα (D842V), and PDGFRα (V561D) with IC_{50}s of 950 nM, 10 nM, 34 nM, 127 nM, 81 nM, and 40 nM, respectively... >98%</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size:</p> 	<p>AST 487 (NVP-AST 487)</p> <p style="text-align: right;">Cat. No.: HY-15002</p> <p>Bioactivity: AST 487 is a RET kinase inhibitor with IC_{50} of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits Flt-3 with IC_{50} of 520 nM.</p> <p>Purity: 98.64%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 
<p>Avapritinib (BLU-285)</p> <p style="text-align: right;">Cat. No.: HY-101561</p> <p>Bioactivity: Avapritinib is a potent and selective exon 17 mutant KIT kinase inhibitor with IC_{50} of 0.27 nM for KIT D816V.</p> <p>Purity: 98.0%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 	<p>AZD2932</p> <p style="text-align: right;">Cat. No.: HY-18179</p> <p>Bioactivity: AZD2932 is a potent and multi-targeted kinase inhibitor VEGFR2, PDGFB, Flt-3 and c-Kit with IC_{50}s of 8, 4, 7 and 9 nM in cell assay, respectively.</p> <p>Purity: 98.12%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>AZD3229</p> <p style="text-align: right;">Cat. No.: HY-112802</p> <p>Bioactivity: AZD3229 is a potent pan- KIT mutant inhibitor for the treatment of gastrointestinal stromal tumors.</p> <p>Purity: 99.55%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 	<p>AZD3229 Tosylate</p> <p style="text-align: right;">Cat. No.: HY-112802A</p> <p>Bioactivity: AZD3229 Tosylate is a potent pan-KIT mutant inhibitor for the treatment of gastrointestinal stromal tumors.</p> <p>Purity: 98.54%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 
<p>c-Kit-IN-1</p> <p style="text-align: right;">Cat. No.: HY-15240</p> <p>Bioactivity: c-Kit-IN-1 is a potent inhibitor of c-Kit and c-Met with IC_{50}s of <200 nM.</p> <p>Purity: 98.46%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Cabozantinib (XL184; BMS-907351)</p> <p style="text-align: right;">Cat. No.: HY-13016</p> <p>Bioactivity: Cabozantinib is a potent multiple receptor tyrosine kinases inhibitor that inhibits VEGFR2, c-Met, Kit, Axl and Flt3 with IC_{50}s of 0.035, 1.3, 4.6, 7 and 11.3 nM, respectively.</p> <p>Purity: 99.92%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p> 


Dovitinib (CHIR-258; TKI258)	Cat. No.: HY-50905
Bioactivity: Dovitinib is a multi-targeted tyrosine kinase inhibitor with IC₅₀s of 1, 2, 8/9, 10/13/8, 27/210 nM for FLT3, c-Kit, FGFR1/3, VEGFR1/2/3 and PDGFRα/β , respectively.	
Purity: 99.31%	
Clinical Data: Phase 3	
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg	


Flumatinib (HHGV678)	Cat. No.: HY-13904
Bioactivity: Flumatinib is a multi-kinase inhibitor with IC ₅₀ Values of 1.2 nM, 307.6 nM and 2662 nM for c-Abl, PDGFR β and c-Kit respectively. IC ₅₀ Value: 1.2 nM (c-Abl); 307.6 nM(PDGFR β); 2662 nM (c-Kit) [1] Target: c-Abl; c-Kit; PDGFR β in vitro: HH-GV-678 can predominantly inhibit the autophosphorylation of...	
Purity: 99.94%	
Clinical Data: Phase 3	
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg	


Flumatinib mesylate (HHGV678 mesylate)	Cat. No.: HY-13905
Bioactivity: Flumatinib mesylate (HH-GV-678 mesylate), a derivative of imatinib, is a multi-kinase inhibitor with IC ₅₀ Values of 1.2 nM, 307.6 nM and 2662 nM for c-Abl, PDGFR β and c-Kit respectively. IC ₅₀ Value: 1.2 nM (c-Abl); 307.6 nM(PDGFR β); 2662 nM (c-Kit) [1] Target: c-Abl; c-Kit; PDGFR β in vitro:...	
Purity: 95.0%	
Clinical Data: Phase 3	
Size: 10mM x 1mL in Water, 500 mg	


Imatinib (STI571)	Cat. No.: HY-15463
Bioactivity: Imatinib is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR (IC ₅₀ =100 nM) tyrosine kinases.	
Purity: 99.80%	
Clinical Data: Launched	
Size: 10mM x 1mL in DMSO, 200 mg, 500 mg, 1 g, 5 g	


Imatinib Mesylate (CGP-57148B; STI-571)	Cat. No.: HY-50946
Bioactivity: Imatinib Mesylate is a tyrosine kinases inhibitor that inhibits c-Kit, Bcr-Abl, and PDGFR (IC ₅₀ =100 nM) tyrosine kinases.	
Purity: 99.90%	
Clinical Data: Launched	
Size: 10mM x 1mL in DMSO, 100 mg, 200 mg, 500 mg, 1 g, 5 g	


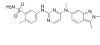

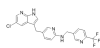

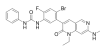

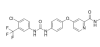

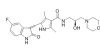
ISCK03	Cat. No.: HY-101443
Bioactivity: ISCK03 is a specific SCF/c-Kit inhibitor.	
Purity: 98.82%	
Clinical Data: No Development Reported	
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg	

Masitinib (AB1010)	Cat. No.: HY-10209
Bioactivity: Masitinib is an orally available Kit inhibitor with an IC₅₀ of 200 nM. It also inhibits PDGFRα/β with an IC₅₀ of 540 nM/800 nM nM.	
Purity: 99.70%	
Clinical Data: Phase 3	
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg	

Masitinib mesylate (AB-1010 mesylate)	Cat. No.: HY-10209A
Bioactivity: Masitinib mesylate is a novel inhibitor for Kit and PDGFRα/β with IC₅₀ of 200 nM and 540 nM/800 nM, and has weak inhibition to ABL and c-Fms.	
Purity: 99.31%	
Clinical Data: Phase 3	
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg	

Motesanib (AMG 706;)	Cat. No.: HY-10228
Bioactivity: Motesanib is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC₅₀s of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is appr 10-fold more selective for VEGFR than PDGFR and Ret.	
Purity: 99.75%	
Clinical Data: Phase 3	
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg	

Motesanib Diphosphate (Motesanib; AMG 706)	Cat. No.: HY-10229
Bioactivity: Motesanib Diphosphate is a potent ATP-competitive inhibitor of VEGFR1/2/3 with IC₅₀s of 2 nM/3 nM/6 nM, respectively, and has similar activity against Kit, and is approximately 10-fold more selective for VEGFR than PDGFR and Ret.	
Purity: 99.64%	
Clinical Data: Phase 3	
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg	

<p>OSI-930</p> <p style="text-align: right;">Cat. No.: HY-10204</p> <p>Bioactivity: OSI-930 is a potent inhibitor of Kit, KDR and CSF-1R with IC50 of 80 nM, 9 nM and 15 nM, respectively; also potent to Flt-1, c-Raf and Lck and low activity against PDGFRα/β, Flt-3 and Abl. IC50 value: 9 nM(VEGFR2); 15 nM(CSF1R); 80 nM (Kit activated) [1] Target: VEGFR2/Kit/CSF1R in vitro: OSI-930...</p> <p>Purity: 97.23%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</p> 	<p>Pazopanib (GW786034)</p> <p style="text-align: right;">Cat. No.: HY-10208</p> <p>Bioactivity: Pazopanib (GW786034) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with IC₅₀s of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.</p> <p>Purity: 99.68%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</p> 
<p>Pazopanib Hydrochloride (GW786034)</p> <p style="text-align: right;">Cat. No.: HY-12009</p> <p>Bioactivity: Pazopanib Hydrochloride is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ, c-Kit, FGFR1, and c-Fms with an IC₅₀ of 10, 30, 47, 84, 74, 140 and 146 nM, respectively.</p> <p>Purity: 99.92%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</p> 	<p>Pexidartinib (PLX-3397)</p> <p style="text-align: right;">Cat. No.: HY-16749</p> <p>Bioactivity: Pexidartinib (PLX-3397) is a multi-targeted receptor tyrosine kinase inhibitor with IC₅₀s of 13 nM, 27 nM, and 11 nM for CSF1R, c-Kit, and FLT3, respectively.</p> <p>Purity: 99.23%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p> 
<p>PLX647</p> <p style="text-align: right;">Cat. No.: HY-13838</p> <p>Bioactivity: PLX647 is a highly specific dual FMS/KIT kinase inhibitor with IC50 of 28/16 nM respectively. IC50 value: 28/16 nM(FMS/KIT) [1] Target: FMS/KIT dual inhibitor in vitro: PLX647 was tested against a panel of 400 kinases at a concentration of 1 μM, 35-fold above its FMS enzymatic IC50 and 60-fold above its KIT...</p> <p>Purity: 98.20%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 	<p>Ripretinib (DCC-2618)</p> <p style="text-align: right;">Cat. No.: HY-112306</p> <p>Bioactivity: Ripretinib (DCC-2618) is a pan- KIT and PDGFRA inhibitor, and has antitumor activity.</p> <p>Purity: 99.46%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>Sitravatinib (MGCD516; MG516)</p> <p style="text-align: right;">Cat. No.: HY-16961</p> <p>Bioactivity: Sitravatinib is a novel small molecule inhibitor targeting multiple RTKs involved in driving sarcoma cell growth with IC50 of 3980 nmol/L</p> <p>Purity: 98.64%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p> 	<p>Sorafenib (Bay 43-9006)</p> <p style="text-align: right;">Cat. No.: HY-10201</p> <p>Bioactivity: Sorafenib (Bay 43-9006) is a potent multikinase inhibitor with IC₅₀s of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.</p> <p>Purity: 99.92%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 500 mg</p> 
<p>Sorafenib Tosylate (Bay 43-9006)</p> <p style="text-align: right;">Cat. No.: HY-10201A</p> <p>Bioactivity: Sorafenib tosylate is a potent multikinase inhibitor, with IC₅₀s of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.</p> <p>Purity: 99.53%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 500 mg</p> 	<p>SU14813</p> <p style="text-align: right;">Cat. No.: HY-10501</p> <p>Bioactivity: SU14813 is a multi-targeted receptor tyrosine kinases inhibitor with IC₅₀s of 50, 2, 4, 15 nM for VEGFR2, VEGFR1, PDGFRβ and KIT.</p> <p>Purity: 95.74%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</p> 

SU14813 maleate

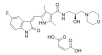
Cat. No.: HY-10501A

Bioactivity: SU14813 maleate is a multi-targeted receptor tyrosine kinases inhibitor with IC_{50} s of 50, 2, 4, 15 nM for **VEGFR2**, **VEGFR1**, **PDGFR β** and **KIT**.

Purity: 99.34%

Clinical Data: No Development Reported

Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 50 mg



Telatinib

(Bay 57-9352)

Cat. No.: HY-10527

Bioactivity: Telatinib (Bay 57-9352) is an orally active, small molecule inhibitor of **VEGFR2**, **VEGFR3**, **PDGF α** , and **c-Kit** with IC_{50} s of 6, 4, 15 and 1 nM, respectively.

Purity: 99.49%

Clinical Data: Phase 2

Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

